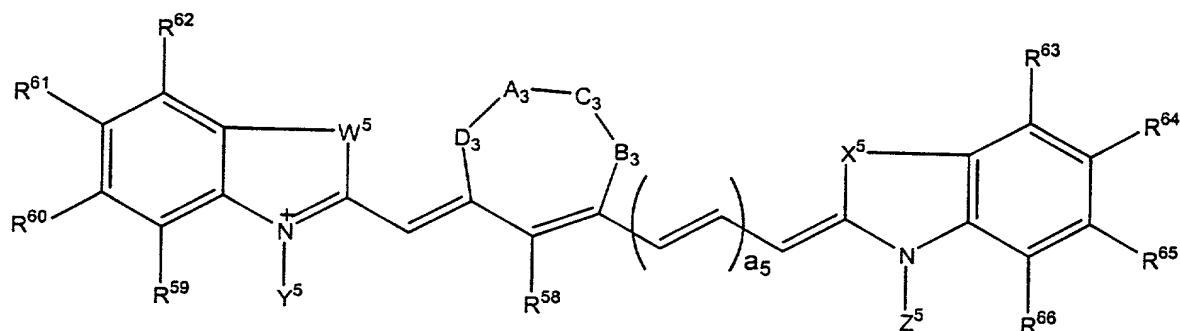


What is claimed is:

[illegible]

1. A compound of formula



wherein  $W^5$  and  $X^5$  are independently selected from the group

consisting of  $-CR^1R^2$ ,  $-O-$ ,  $-NR^3$ ,  $-S-$ , and  $-Se$ ;  $Y^5$  is selected from the

group consisting of  $-(CH_2)_a-CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-CONH-$

5  $Bm$ ,  $-(CH_2)_a-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-Bm$ ,  $-(CH_2)_a-N(R^3)-$

$(CH_2)_b-CONH-Bm$ ,  $(CH_2)_a-N(R^3)-(CH_2)_c-NHCO-Bm$ ,  $-(CH_2)_a-N(R^3)-CH_2-$

$(CH_2OCH_2)_b-CH_2-CONH-Bm$ ,  $-(CH_2)_a-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-$

$Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(CH_2)_a-CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-$

$CH_2-N(R^3)-(CH_2)_a-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-$

10  $(CH_2OCH_2)_d-CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_d-$

$NHCO-Bm$ ,  $-(CH_2)_a-NR^3R^4$ , and  $-CH_2(CH_2OCH_2)_b-CH_2NR^3R^4$ ;  $Z^5$  is

selected from the group consisting of  $-(CH_2)_a-CONH-Dm$ ,  $-CH_2-$

$(CH_2OCH_2)_b-CH_2-CONH-Dm$ ,  $-(CH_2)_a-NHCO-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-$

$NHCO-Dm$ ,  $-(CH_2)_a-N(R^3)-(CH_2)_b-CONH-Dm$ ,  $(CH_2)_a-N(R^3)-(CH_2)_c-NHCO-$

15  $Dm$ ,  $-(CH_2)_a-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Dm$ ,  $-(CH_2)_a-N(R^3)-CH_2-$

$(CH_2OCH_2)_b-CH_2-NHCO-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(CH_2)_a-CONH-$

$Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(CH_2)_a-NHCO-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-$

- CH<sub>2</sub>-N(R<sup>3</sup>)-CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>d</sub>-CONH-Dm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-N(R<sup>3</sup>)-CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>d</sub>-NHCO-Dm, -(CH<sub>2</sub>)<sub>a</sub>-NR<sup>3</sup>R<sup>4</sup>, and -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-
- 20 CH<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>; A<sub>3</sub> is a single or a double bond; B<sub>3</sub>, C<sub>3</sub>, and D<sub>3</sub> are independently selected from the group consisting of -O-, -S-, -Se-, -P-, -CR<sup>1</sup>R<sup>2</sup>, -CR<sup>1</sup>, alkyl, NR<sup>3</sup>, and -C=O; A<sub>3</sub>, B<sub>3</sub>, C<sub>3</sub>, and D<sub>3</sub> may together form a 6- to 12-membered carbocyclic ring or a 6- to 12-membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or
- 25 sulfur atom; a<sub>5</sub> vary from 0 to 5; R<sup>1</sup> to R<sup>4</sup>, and R<sup>58</sup> to R<sup>66</sup> are independently selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>5</sub>-C<sub>20</sub> aryl, C<sub>1</sub>-C<sub>10</sub> alkoxy, C<sub>1</sub>-C<sub>10</sub> polyalkoxyalkyl, C<sub>1</sub>-C<sub>20</sub> polyhydroxyalkyl, C<sub>5</sub>-C<sub>20</sub> polyhydroxyaryl, C<sub>1</sub>-C<sub>10</sub> aminoalkyl, cyano, nitro, halogen, saccharide, peptide, -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-OH, -(CH<sub>2</sub>)<sub>a</sub>-
- 30 CO<sub>2</sub>H, -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-Bm, -(CH<sub>2</sub>)<sub>a</sub>-NHCO-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-OH and -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CO<sub>2</sub>H; Bm and Dm are independently selected from the group consisting of bioactive peptide, protein, cell, antibody, antibody fragment, saccharide, glycopeptide, peptidomimetic, drug, drug mimic,
- 35 hormone, metal chelating agent, radioactive or nonradioactive metal complex, and echogenic agent; a and c independently vary from 1 to 20; b and d independently vary from 1 to 100.

2. The compound of claim 1 wherein W<sup>5</sup> and X<sup>5</sup> are independently selected from the group consisting of -C(CH<sub>3</sub>)<sub>2</sub>, -C((CH<sub>2</sub>)<sub>a</sub>OH)CH<sub>3</sub>,

$-C((CH_2)_aOH)_2$ ,  $-C((CH_2)_aCO_2H)CH_3$ ,  $-C((CH_2)_aCO_2H)_2$ ,

$-C((CH_2)_aNH_2)CH_3$ ,  $C((CH_2)_aNH_2)_2$ ,  $C((CH_2)_aNR^3R^4)_2$ ,  $-NR^3$ , and  $-S$ ;  $Y^5$

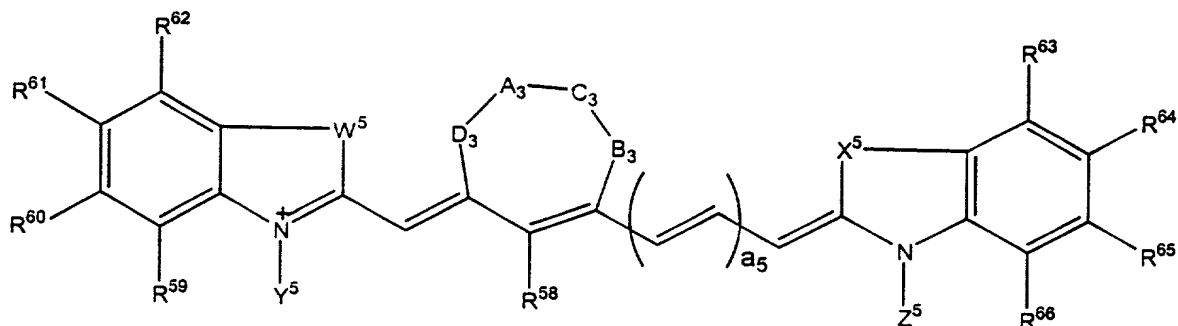
- 5 is selected from the group consisting of  $-(CH_2)_a-CONH-Bm$ ,  $-CH_2-$   
 $(CH_2OCH_2)_b-CH_2-CONH-Bm$ ,  $-(CH_2)_a-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-$   
 $NHCO-Bm$ ,  $-(CH_2)_a-NR^3R^4$ , and  $-CH_2(CH_2OCH_2)_b-CH_2NR^3R^4$ ;  $Z^5$  is  
selected from the group consisting of  $-(CH_2)_a-CONH-Dm$ ,  $-CH_2-$   
 $(CH_2OCH_2)_b-CH_2-CONH-Dm$ ,  $-(CH_2)_a-NHCO-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-$   
10  $NHCO-Dm$ ,  $-(CH_2)_a-NR^3R^4$ , and  $-CH_2(CH_2OCH_2)_b-CH_2NR^3R^4$ ;  $A_3$  is a  
single or a double bond;  $B_3$ ,  $C_3$ , and  $D_3$  are independently selected from  
the group consisting of  $-O-$ ,  $-S-$ ,  $NR^3$ ,  $(CH_2)_a-CR^1R^2$ , and  $-CR^1$ ;  $A_3$ ,  $B_3$ ,  
 $C_3$ , and  $D_3$  may together form a 6- to 10-membered carbocyclic ring or  
a 6- to 10-membered heterocyclic ring optionally containing one or  
15 more oxygen, nitrogen, or sulfur atom;  $a_5$  vary from 0 to 3;  $R^1$  to  $R^4$ ,  
and  $R^{58}$  to  $R^{66}$  are independently selected from the group consisting of  
hydrogen,  $C_1-C_{10}$  alkyl,  $C_5-C_{12}$  aryl,  $C_1-C_{10}$  alkoxy,  $C_1-C_{10}$   
polyhydroxyalkyl,  $C_5-C_{12}$  polyhydroxyaryl,  $C_1-C_{10}$  aminoalkyl, mono- or  
oligosaccharide, peptide with 2 to 30 amino acid units,  
20  $-CH_2(CH_2OCH_2)_b-CH_2-OH$ ,  $-(CH_2)_a-CO_2H$ ,  $-(CH_2)_a-CONH-Bm$ ,  $-CH_2-$   
 $(CH_2OCH_2)_b-CH_2-CONH-Bm$ ,  $-(CH_2)_a-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-$   
 $NHCO-Bm$ ,  $-(CH_2)_a-OH$  and  $-CH_2-(CH_2OCH_2)_b-CO_2H$ ;  $Bm$  and  $Dm$  are  
independently selected from the group consisting of bioactive peptide  
containing 2 to 30 amino acid units, antibody, mono- or  
25 oligosaccharide, glycopeptide, metal chelating agent, radioactive or

nonradioactive metal complex, and echogenic agent; a and c independently vary from 1 to 10; b and d independently vary from 1 to 30.

3. The compound of claim 2 wherein each of  $W^5$  and  $X^5$  is  $C((CH_2)OH)_2$ ;  $Y^5$  is  $-(CH_2)_2-CONH-Bm$ ;  $Z^5$  is  $-(CH_2)_2-CONH-Dm$ ;  $A_3$  is a single bond;  $A_3$ ,  $B_3$ ,  $C_3$ , and  $D_3$  together form a 6-membered carbocyclic ring;  $a_5$  is 1;  $R^{58}$  is galactose; each  $R^{59}$  to  $R^{66}$  is hydrogen;

5 Bm is Octreotate; Dm is bombesin (7-14).

4. A method for performing a diagnostic or therapeutic procedure comprising
- administering to an individual an effective amount of the
- compound of formula



- 5 wherein  $W^5$  and  $X^5$  are independently selected from the group consisting of  $-CR^1R^2$ ,  $-O-$ ,  $-NR^3$ ,  $-S-$ , and  $-Se$ ;  $Y^5$  is selected from the group consisting of  $-(CH_2)_a-CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Bm$ ,  $-(CH_2)_a-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-Bm$ ,  $-(CH_2)_a-N(R^3)-(CH_2)_b-CONH-Bm$ ,  $(CH_2)_a-N(R^3)-(CH_2)_c-NHCO-Bm$ ,  $-(CH_2)_a-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Bm$ ,  $-(CH_2)_a-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(CH_2)_a-CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(CH_2)_a-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_d-CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_d-NHCO-Bm$ ,  $-(CH_2)_a-NR^3R^4$ , and  $-CH_2(CH_2OCH_2)_b-CH_2NR^3R^4$ ;  $Z^5$  is
- 10 selected from the group consisting of  $-(CH_2)_a-CONH-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Dm$ ,  $-(CH_2)_a-NHCO-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-Dm$ ,  $-(CH_2)_a-N(R^3)-(CH_2)_b-CONH-Dm$ ,  $(CH_2)_a-N(R^3)-(CH_2)_c-NHCO-Dm$ ,  $-(CH_2)_a-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Dm$ ,  $-(CH_2)_a-N(R^3)-CH_2-$
- 15

$(\text{CH}_2\text{OCH}_2)_b\text{-CH}_2\text{-NHCO-Dm}$ ,  $-\text{CH}_2\text{-(CH}_2\text{OCH}_2)_b\text{-CH}_2\text{-N(R}^3\text{)-(CH}_2\text{)}_a\text{-CONH-}$   
 20  $\text{Dm}$ ,  $-\text{CH}_2\text{-(CH}_2\text{OCH}_2)_b\text{-CH}_2\text{-N(R}^3\text{)-(CH}_2\text{)}_a\text{-NHCO-Dm}$ ,  $-\text{CH}_2\text{-(CH}_2\text{OCH}_2)_b\text{-}$   
 $\text{CH}_2\text{-N(R}^3\text{)-CH}_2\text{-(CH}_2\text{OCH}_2)_d\text{-CONH-Dm}$ ,  $-\text{CH}_2\text{-(CH}_2\text{OCH}_2)_b\text{-CH}_2\text{-N(R}^3\text{)-}$   
 $\text{CH}_2\text{-(CH}_2\text{OCH}_2)_d\text{-NHCO-Dm}$ ,  $-(\text{CH}_2)_a\text{-NR}^3\text{R}^4$ , and  $-\text{CH}_2(\text{CH}_2\text{OCH}_2)_b\text{-}$   
 $\text{CH}_2\text{NR}^3\text{R}^4$ ;  $\text{A}_3$  is a single or a double bond;  $\text{B}_3$ ,  $\text{C}_3$ , and  $\text{D}_3$  are  
 independently selected from the group consisting of  $-\text{O-}$ ,  $-\text{S-}$ ,  $-\text{Se-}$ ,  $-\text{P-}$ ,  
 25  $-\text{CR}^1\text{R}^2$ ,  $-\text{CR}^1$ , alkyl,  $\text{NR}^3$ , and  $-\text{C}=\text{O}$ ;  $\text{A}_3$ ,  $\text{B}_3$ ,  $\text{C}_3$ , and  $\text{D}_3$  may together  
 form a 6- to 12-membered carbocyclic ring or a 6- to 12-membered  
 heterocyclic ring optionally containing one or more oxygen, nitrogen, or  
 sulfur atom;  $a_5$  vary from 0 to 5;  $\text{R}^1$  to  $\text{R}^4$ , and  $\text{R}^{58}$  to  $\text{R}^{66}$  are  
 independently selected from the group consisting of hydrogen,  $\text{C}_1\text{-C}_{10}$   
 30 alkyl,  $\text{C}_5\text{-C}_{20}$  aryl,  $\text{C}_1\text{-C}_{10}$  alkoxy,  $\text{C}_1\text{-C}_{10}$  polyalkoxyalkyl,  $\text{C}_1\text{-C}_{20}$   
 polyhydroxyalkyl,  $\text{C}_5\text{-C}_{20}$  polyhydroxyaryl,  $\text{C}_1\text{-C}_{10}$  aminoalkyl, cyano,  
 nitro, halogen, saccharide, peptide,  $-\text{CH}_2(\text{CH}_2\text{OCH}_2)_b\text{-CH}_2\text{-OH}$ ,  $-(\text{CH}_2)_a\text{-}$   
 $\text{CO}_2\text{H}$ ,  $-(\text{CH}_2)_a\text{-CONH-Bm}$ ,  $-\text{CH}_2\text{-(CH}_2\text{OCH}_2)_b\text{-CH}_2\text{-CONH-Bm}$ ,  $-(\text{CH}_2)_a\text{-}$   
 $\text{NHCO-Bm}$ ,  $-\text{CH}_2\text{-(CH}_2\text{OCH}_2)_b\text{-CH}_2\text{-NHCO-Bm}$ ,  $-(\text{CH}_2)_a\text{-OH}$  and  $-\text{CH}_2\text{-}$   
 35  $(\text{CH}_2\text{OCH}_2)_b\text{-CO}_2\text{H}$ ;  $\text{Bm}$  and  $\text{Dm}$  are independently selected from the  
 group consisting of bioactive peptide, protein, cell, antibody, antibody  
 fragment, saccharide, glycopeptide, peptidomimetic, drug, drug mimic,  
 hormone, metal chelating agent, radioactive or nonradioactive metal  
 complex, and echogenic agent;  $a$  and  $c$  independently vary from 1 to  
 40 20;  $b$  and  $d$  independently vary from 1 to 100, and a pharmaceutically  
 acceptable carrier or excipient to form a composition,

activating the compound using light, and  
performing the diagnostic or therapeutic procedure.

5. The method of claim 4 comprising administering to an individual an effective amount of the compound wherein  $W^5$  and  $X^5$  are independently selected from the group consisting of  $-C(CH_3)_2$ ,  $-C((CH_2)_aOH)CH_3$ ,  $-C((CH_2)_aOH)_2$ ,  $-C((CH_2)_aCO_2H)CH_3$ ,  $-C((CH_2)_aCO_2H)_2$ ,  $-C((CH_2)_aNH_2)CH_3$ ,  $C((CH_2)_aNH_2)_2$ ,  $C((CH_2)_aNR^3R^4)_2$ ,  $-NR^3$ , and  $-S$ ;  $Y^5$  is selected from the group consisting of  $-(CH_2)_a-CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Bm$ ,  $-(CH_2)_a-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-Bm$ ,  $-(CH_2)_a-NR^3R^4$ , and  $-CH_2(CH_2OCH_2)_b-CH_2NR^3R^4$ ;  $Z^5$  is selected from the group consisting of  $-(CH_2)_a-CONH-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Dm$ ,  $-(CH_2)_a-NHCO-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-Dm$ ,  $-(CH_2)_a-NR^3R^4$ , and  $-CH_2(CH_2OCH_2)_b-CH_2NR^3R^4$ ;  $A_3$  is a single or a double bond;  $B_3$ ,  $C_3$ , and  $D_3$  are independently selected from the group consisting of  $-O-$ ,  $-S-$ ,  $NR^3$ ,  $(CH_2)_a-CR^1R^2$ , and  $-CR^1$ ;  $A_3$ ,  $B_3$ ,  $C_3$ , and  $D_3$  may together form a 6- to 10-membered carbocyclic ring or a 6- to 10-membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or sulfur atom;  $a_5$  vary from 0 to 3;  $R^1$  to  $R^4$ , and  $R^{58}$  to  $R^{66}$  are independently selected from the group consisting of hydrogen,  $C_1$ - $C_{10}$  alkyl,  $C_5$ - $C_{12}$  aryl,  $C_1$ - $C_{10}$  alkoxy,  $C_1$ - $C_{10}$  polyhydroxyalkyl,  $C_5$ - $C_{12}$  polyhydroxyaryl,  $C_1$ - $C_{10}$  aminoalkyl, mono- or oligosaccharide, peptide with 2 to 30 amino acid units,



-CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-OH, -(CH<sub>2</sub>)<sub>a</sub>-CO<sub>2</sub>H, -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm, -CH<sub>2</sub>-  
(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-Bm, -(CH<sub>2</sub>)<sub>a</sub>-NHCO-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-  
NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-OH and -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CO<sub>2</sub>H; Bm and Dm are  
independently selected from the group consisting of bioactive peptide  
25 containing 2 to 30 amino acid units, antibody, mono- or  
oligosaccharide, glycopeptide, metal chelating agent, radioactive or  
nonradioactive metal complex, and echogenic agent; a and c  
independently vary from 1 to 10; b and d independently vary from 1 to  
30.

6. The method of claim 5 comprising administering to an individual  
an effective amount of the compound wherein each W<sup>5</sup> and X<sup>5</sup> is  
C((CH<sub>2</sub>)OH)<sub>2</sub>; Y<sup>5</sup> is -(CH<sub>2</sub>)<sub>2</sub>-CONH-Bm; Z<sup>5</sup> is -(CH<sub>2</sub>)<sub>2</sub>-CONH-Dm; A<sub>3</sub> is a  
single bond; A<sub>3</sub>, B<sub>3</sub>, C<sub>3</sub>, and D<sub>3</sub> together form a 6-membered  
5 carbocyclic ring; a<sub>5</sub> is 1; R<sup>58</sup> is galactose; each R<sup>59</sup> to R<sup>66</sup> is hydrogen;  
Bm is Octreotate; Dm is bombesin (7-14).

7. The method of claim 4 wherein said procedure uses light of  
wavelength in the region of 350-1300 nm.

8. The method of claim 4 wherein the diagnostic procedure is  
optical tomography.

9. The method of claim 4 wherein the diagnostic procedure is fluorescence endoscopy.

10. The method of claim 4 further comprising monitoring a blood clearance profile of said compound by fluorescence, absorbance or light scattering wherein light of wavelength in the region of 350-1300 nm is used.

11. The method of claim 4 wherein said procedure further comprises a step of imaging and therapy wherein said imaging and therapy is selected from the group consisting of absorption, light scattering, photoacoustic and sonofluorescence technique.

12. The method of claim 4 wherein said procedure is for diagnosing atherosclerotic plaques and blood clots.

13. The method of claim 4 wherein said procedure comprises administering localized therapy.

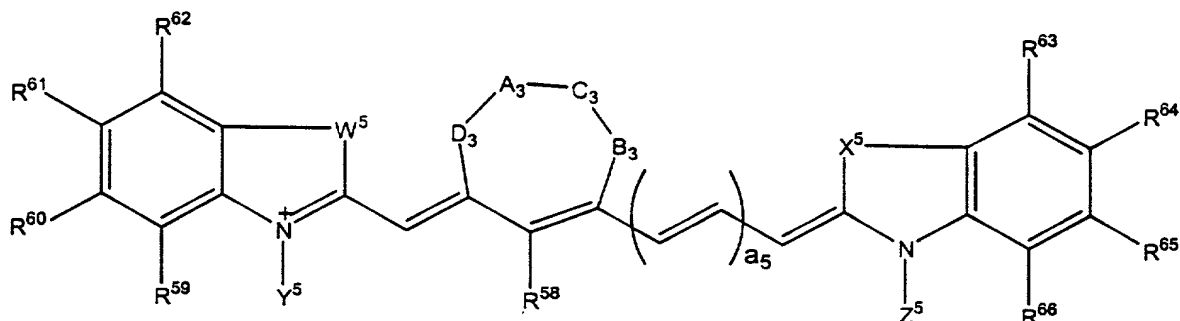
14. The method of claim 4 wherein said therapeutic procedure comprises photodynamic therapy.

15. The method of claim 4 wherein said therapeutic procedure comprises laser assisted guided surgery for the detection of micrometastases.

16. The method of claim 4 further comprising adding a biocompatible organic solvent to the at a concentration of one to fifty percent to the composition to prevent *in vivo* or *in vitro* fluorescence quenching.

17. The method of claim 16 wherein said compound is dissolved in a medium comprising one to fifty percent dimethyl sulfoxide.

18. A composition comprising a cyanine dye bioconjugate of formula



wherein  $W^5$  and  $X^5$  are independently selected from the group

consisting of  $-CR^1R^2$ ,  $-O-$ ,  $-NR^3$ ,  $-S-$ , and  $-Se$ ;  $Y^5$  is selected from the

group consisting of  $-(CH_2)_a-CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-CONH-$

5  $Bm$ ,  $-(CH_2)_a-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-Bm$ ,  $-(CH_2)_a-N(R^3)-$

$(CH_2)_b-CONH-Bm$ ,  $(CH_2)_a-N(R^3)-(CH_2)_c-NHCO-Bm$ ,  $-(CH_2)_a-N(R^3)-CH_2-$

$(CH_2OCH_2)_b-CH_2-CONH-Bm$ ,  $-(CH_2)_a-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-$

$Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(CH_2)_a-CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-$

$CH_2-N(R^3)-(CH_2)_a-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-$

10  $(CH_2OCH_2)_d-CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2-(CH_2OCH_2)_d-$

$NHCO-Bm$ ,  $-(CH_2)_a-NR^3R^4$ , and  $-CH_2(CH_2OCH_2)_b-CH_2NR^3R^4$ ;  $Z^5$  is

selected from the group consisting of  $-(CH_2)_a-CONH-Dm$ ,  $-CH_2-$

$(CH_2OCH_2)_b-CH_2-CONH-Dm$ ,  $-(CH_2)_a-NHCO-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-$

$NHCO-Dm$ ,  $-(CH_2)_a-N(R^3)-(CH_2)_b-CONH-Dm$ ,  $(CH_2)_a-N(R^3)-(CH_2)_c-NHCO-$

15  $Dm$ ,  $-(CH_2)_a-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Dm$ ,  $-(CH_2)_a-N(R^3)-CH_2-$

$(CH_2OCH_2)_b-CH_2-NHCO-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(CH_2)_a-CONH-$

$Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(CH_2)_a-NHCO-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-$

$\text{CH}_2\text{-N(R}^3\text{)-CH}_2\text{-(CH}_2\text{OCH}_2\text{)}_d\text{-CONH-Dm}$ ,  $\text{-CH}_2\text{-(CH}_2\text{OCH}_2\text{)}_b\text{-CH}_2\text{-N(R}^3\text{)-}$

$\text{CH}_2\text{-(CH}_2\text{OCH}_2\text{)}_d\text{-NHCO-Dm}$ ,  $\text{-(CH}_2\text{)}_a\text{-NR}^3\text{R}^4$ , and  $\text{-CH}_2\text{(CH}_2\text{OCH}_2\text{)}_b\text{-}$

- 20  $\text{CH}_2\text{NR}^3\text{R}^4$ ;  $\text{A}_3$  is a single or a double bond;  $\text{B}_3$ ,  $\text{C}_3$ , and  $\text{D}_3$  are independently selected from the group consisting of -O-, -S-, -Se-, -P-, -CR<sup>1</sup>R<sup>2</sup>, -CR<sup>1</sup>, alkyl, NR<sup>3</sup>, and -C=O;  $\text{A}_3$ ,  $\text{B}_3$ ,  $\text{C}_3$ , and  $\text{D}_3$  may together form a 6- to 12-membered carbocyclic ring or a 6- to 12-membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or
- 25 sulfur atom;  $\text{a}_5$  vary from 0 to 5;  $\text{R}^1$  to  $\text{R}^4$ , and  $\text{R}^{58}$  to  $\text{R}^{66}$  are independently selected from the group consisting of hydrogen,  $\text{C}_1\text{-C}_{10}$  alkyl,  $\text{C}_5\text{-C}_{20}$  aryl,  $\text{C}_1\text{-C}_{10}$  alkoxy,  $\text{C}_1\text{-C}_{10}$  polyalkoxyalkyl,  $\text{C}_1\text{-C}_{20}$  polyhydroxyalkyl,  $\text{C}_5\text{-C}_{20}$  polyhydroxyaryl,  $\text{C}_1\text{-C}_{10}$  aminoalkyl, cyano, nitro, halogen, saccharide, peptide,  $\text{-CH}_2\text{(CH}_2\text{OCH}_2\text{)}_b\text{-CH}_2\text{-OH}$ ,  $\text{-(CH}_2\text{)}_a\text{-}$
- 30  $\text{CO}_2\text{H}$ ,  $\text{-(CH}_2\text{)}_a\text{-CONH-Bm}$ ,  $\text{-CH}_2\text{-(CH}_2\text{OCH}_2\text{)}_b\text{-CH}_2\text{-CONH-Bm}$ ,  $\text{-(CH}_2\text{)}_a\text{-NHCO-Bm}$ ,  $\text{-CH}_2\text{-(CH}_2\text{OCH}_2\text{)}_b\text{-CH}_2\text{-NHCO-Bm}$ ,  $\text{-(CH}_2\text{)}_a\text{-OH}$  and  $\text{-CH}_2\text{-(CH}_2\text{OCH}_2\text{)}_b\text{-CO}_2\text{H}$ ; Bm and Dm are independently selected from the group consisting of bioactive peptide, protein, cell, antibody, antibody fragment, saccharide, glycopeptide, peptidomimetic, drug, drug mimic,
- 35 hormone, metal chelating agent, radioactive or nonradioactive metal complex, and echogenic agent; a and c independently vary from 1 to 20; b and d independently vary from 1 to 100, and a pharmaceutically acceptable carrier or excipient.

19. The composition of claim 18 wherein  $W^5$  and  $X^5$  are independently selected from the group consisting of  $-C(CH_3)_2$ ,  $-C((CH_2)_aOH)CH_3$ ,  $-C((CH_2)_aOH)_2$ ,  $-C((CH_2)_aCO_2H)CH_3$ ,  $-C((CH_2)_aCO_2H)_2$ ,  $-C((CH_2)_aNH_2)CH_3$ ,  $C((CH_2)_aNH_2)_2$ ,  $C((CH_2)_aNR^3R^4)_2$ ,  $-NR^3$ , and  $-S$ ;  $Y^5$  is selected from the group consisting of  $-(CH_2)_a-CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Bm$ ,  $-(CH_2)_a-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-Bm$ ,  $-(CH_2)_a-NR^3R^4$ , and  $-CH_2(CH_2OCH_2)_b-CH_2NR^3R^4$ ;  $Z^5$  is selected from the group consisting of  $-(CH_2)_a-CONH-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Dm$ ,  $-(CH_2)_a-NHCO-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-Dm$ ,  $-(CH_2)_a-NR^3R^4$ , and  $-CH_2(CH_2OCH_2)_b-CH_2NR^3R^4$ ;  $A_3$  is a single or a double bond;  $B_3$ ,  $C_3$ , and  $D_3$  are independently selected from the group consisting of  $-O-$ ,  $-S-$ ,  $NR^3$ ,  $(CH_2)_a-CR^1R^2$ , and  $-CR^1$ ;  $A_3$ ,  $B_3$ ,  $C_3$ , and  $D_3$  may together form a 6- to 10-membered carbocyclic ring or a 6- to 10-membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or sulfur atom;  $a_5$  vary from 0 to 3;  $R^1$  to  $R^4$ , and  $R^{58}$  to  $R^{66}$  are independently selected from the group consisting of hydrogen,  $C_1$ - $C_{10}$  alkyl,  $C_5$ - $C_{12}$  aryl,  $C_1$ - $C_{10}$  alkoxy,  $C_1$ - $C_{10}$  polyhydroxyalkyl,  $C_5$ - $C_{12}$  polyhydroxyaryl,  $C_1$ - $C_{10}$  aminoalkyl, mono- or oligosaccharide, peptide with 2 to 30 amino acid units,  $-CH_2(CH_2OCH_2)_b-CH_2-OH$ ,  $-(CH_2)_a-CO_2H$ ,  $-(CH_2)_a-CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Bm$ ,  $-(CH_2)_a-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-Bm$ ,  $-(CH_2)_a-OH$  and  $-CH_2-(CH_2OCH_2)_b-CO_2H$ ;  $Bm$  and  $Dm$  are independently selected from the group consisting of bioactive peptide

containing 2 to 30 amino acid units, antibody, mono- or  
25 oligosaccharide, glycopeptide, metal chelating agent, radioactive or  
nonradioactive metal complex, and echogenic agent; a and c  
independently vary from 1 to 10; b and d independently vary from 1 to  
30.

20. The composition of claim 19 wherein each of  $W^5$  and  $X^5$  is  
 $C((CH_2)OH)_2$ ;  $Y^5$  is  $-(CH_2)_2-CONH-Bm$ ;  $Z^5$  is  $-(CH_2)_2-CONH-Dm$ ;  $A_3$  is a  
single bond;  $A_3$ ,  $B_3$ ,  $C_3$ , and  $D_3$  together form a 6-membered  
carbocyclic ring;  $a_5$  is 1;  $R^{58}$  is galactose; each  $R^{59}$  to  $R^{66}$  is hydrogen;

5 Bm is Octreotate; Dm is bombesin (7-14).